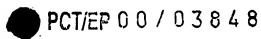
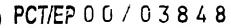
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The undersigned requests that the present international application be processed \$\begin{align*} \beta \\ \eta \end{align*} \] (14 according to the Patent Cooperation Treaty	EUROPEAN PATENT OFFICE PCT INTERNATIONAL APPLICATION Name of receiving Office and "PCT Inter Upplication"
. I TITLE OF INVENTION	Applicant's or agent's file reference (if desired) (12 characters maximum) PU3650/WO
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Box No. 1 TITLE OF INVENTION	
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Box No. II APPLICANT	
Name and address: (Family name followed by given name; for a legal end designation. The address must include postal code and name of country. The indicated in this Box is the applicant's State (that is, country) of residence if n indicated below).	he country of the address
Glaxo Group Limited	Telephone No. 020 8966 8000
Glaxo Wellcome House	Facsimile No. 020 8966 8838
Berkeley Avenue	
Greenford, Middlesex, UB6 0NN GB	Teleprinter No. 25456
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Box No. III FURTHER APPLICANTS AND/OR FURTHE	
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Box No. IV AGENT OR COMMON REPRESENTATIVE; (The person identified below is hereby/has been appoint d to act on b of the applicant(s) before the competent International Authorities as:	behalf common representative
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Glaxo Wellcome plc	Facsimile No.:
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Mark this check-box where no agent or common representative indicate a special address to which correspondence should be	ive is " above is used instead to



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Continuation of Box No. III FURTHER APPLICANTS AND/	OR (FURTHER) INVENTORS
If none of the following sub-boxe: is used, t	this sheet is not to be included in the request.
Name and address: (Family name followed by given name; for a legal enti- The address must include postal code and name of country. The country of the Bax is the applicant's State (that is, country) of residence if no State of resident BISHOP, Michael Joseph Glaxo Wellcome Inc Five Moore Drive Research Triangle Park North Carolina 27709	e address indicated in this I his person is.
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Form PCT/RO/101 (continuation sheet) (July 1998)	See Notes to the request form





Sheet No . .. 3 ...

, Continuation of Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVE	NTORS
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Name and address: (Family name followed by given name: fcr a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.) NAVAS III, Frank Glaxo Wellcome Inc Five Moore Drive Research Triangle Park North Carolina 27709 US	This person Is: applicant only applicant and inventor inventor only (If this check-box is marked, do not fill in below.)
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Name and address: (Family name followed by given name;]): a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)	This person is: applicant only applicant and inventor inventor only (If this check-box is marked, do not fill in below.)
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Sheet No4......

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Jone Application No PCT/EP 00/03848

A CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D233/24 A61K31/417 A61P43/00 C07D409/12 C07D403/12 C07D417/12 C07D401/12 C07D405/12 C070413/12 According to International Patent Classification (IPC) or to both rational descriptional or IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7D A61K A61P Documentation asserbled other than minimum documentation to the extent that such documents are included in the fields asserbled Electronic data base consulted during the international search (name of data base and, where preciotal search terms used) CHEM ABS Data C. DOCLIMENTS CONSIDERED TO BE RELEVANT Category * Citation of document, with indication, where eporopriate, of the relevant passeages Relevent to dain No. A WALFRED S. SAARI ET AL.: 1,19,20, "alpha-Adrenergic activities of some substituted 2-(aminoethyl)imidazolines" JOURNAL OF MEDICINAL CHEMISTRY. vol. 26, no. 12, - 1983 pages 1769-1772, XP002147365 AMERICAN CHEMICAL SOCIETY. WASHINGTON., US ISSN: 0022-2623 cited in the application * complete document * US 3 754 002 A (RICHARD E. BROWN) Α 1,19,20, 21 August 1973 (1973-08-21) * complete document * US 4 506 074 A (MERCK & CO., INC.) Α 1,19,20, 19 March 1985 (1985-03-19) column 1 -column 3 Further documents are listed in the continuation of box C. l XI Patent family members are fixed in annex. X Special categories of cited documents: TT tabor document published after the international filling date or priority date and not in conflict with the application but cited to understand the principle or theory, underlying the "A" document defining the general state of the last which is not considered to be of particular relevance. invention birobarnette with a to no beheldud but the international *X* document of particular relevance; the claimed invention cannot be considered hovel or cannot be considered to involve an inventive step when the document is 12km alone filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) document of perticular relevance; the claimed (invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-"O" document referring to an oral disclosure, use, exhibidon a otner means. menta, such combination being obvious to a person skilled in the art. document published prior to the international filing date out later than the priority date claimed "&" document member of the earne patent family Date of the actual completion of the international search Date of mailing of the international search report 14 September 2000 10/10/2000 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentiat r 2 NL - 2260 HV Ripwik Tel. (+31-70) 340-2040, Tx. 31 651 epo n . Fax: (+31-70) 340-3016 Van Bijlen, H

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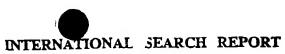
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INTERNATIONAL SEARCH REPORT

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Patent document cited in search report	t	Publication date	Patent family member(s)		Publication date	
US 3754002	Α	21-08-1973	NONE			
US 4506074	A	19-03-1985	ZA	8308259 A	26-06-1985	
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According to International Patent Classification (IPC) or to both restional classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7D A61K A61P

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A	WALFRED S. SAARI ET AL.: "alpha-Adrenergic activities of some substituted 2-(aminoethyl)imidazolines" JOURNAL OF MEDICINAL CH2MISTRY., vol. 26, no. 12, - 1983 pages 1769-1772, XP002147365 AMERICAN CHEMICAL SOCIETY. WASHINGTON., US ISSN: 0022-2623 cited in the application * complete document *	1,19,20, 22
A	US 3 754 002 A (RICH/RD E. BROWN) 21 August 1973 (1973-08-21) * complete document *	1,19,20, 22
A	US 4 506 074 A (MERCK & CO., INC.) 19 March 1985 (1985-03-19) column 1 -column 3	1,19,20, 22

Y Further documents are listed in the continuetion of box C, Patent family members are fixed in annex. "I" taper document published after the International filling date or priority date and not in confict with the application but cited to understand the principle or theory underlying the invention * Special categories of cited documents: "A" document defining the general state of the last which is / of considered to be of particular relevances Isroitsmetric aft with to no benefiture but memucob within "E" "X" document of particular relevance; the claimed invent filing date cannot be considered havel or cannot be considered to involve an inventive step when the document is taken slone "L" document which may throw doubte on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "

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Form PCT/ISA/210 (patient farmly annex) (July 1992)



PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)						
PU3650/WO								
International application No.	International filing date (day/month							
PCT/EP00/03848	28/04/2000	30/04/1999						
International Patent Classification (IPC) or national classification and IPC C07D233/24								
Applicant GLAXO GROUP LIMITED et al.								
 This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36. 								
2. This REPORT consists of a total of 6 sheets, including this cover sheet.								
This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).								
These annexes consist of a total of sheets.								
3. This report contains indications relating to the following Items:								
I 🖾 Basis of the report								
II Priority								
III 🖾 Non-establishment of	opinion with regard to novelty, in	on with regard to novelty, inventive step and Industrial applicability						
IV 🔲 Lack of unity of Inventi								
	 Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations suporting such statement 							
VI Certain documents cit	ed							
VII 🖾 Certain defects in the	international application							
VIII								
Date of submission of the demand	Date o	f completion of this report						
21/11/2000	26.02.3	2001						
Name and mailing address of the internation preliminary examining authority: European Patent Office	al Author	ized officer						
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Fax: +49 89 2399 - 4465	Teleph	ione No. +49 89 2399 8280						









International application No. PCT/EP00/03848

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

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l.	Bas	sis of the report	·				
 This report has been drawn on the basis of (substitute sheets which have been furnished to the receivesponse to an invitation under Article 14 are referred to in this report as "originally filed" and are not the report since they do not contain amendments (Rules 70.16 and 70.17).): Description, pages: 							
	1-6	3	as originally filed .				
	Cla	ims, No.:					
	1-2	9	as originally filed				
 With regard to the language, all the elements marked above were available or furnished to this Authority in t language in which the international application was filed, unless otherwise indicated under this item. 							
	The	se elements were av	vailable or furnished to this Authority in the following language: , which is:				
		the language of a tr	anslation furnished for the purposes of the international search (under Rule 23.1(b)).				
			plication of the international application (under Rule 48.3(b)).				
			anslation furnished for the purposes of international preliminary examination (under Rule				
3.	With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:						
		contained in the inte	ernational application in written form.				
		filed together with the	ne international application in computer readable form.				
		furnished subseque	ntly to this Authority in written form.				
		furnished subseque	ntly to this Authority in computer readable form.				
		The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.					
		The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.					
1.	The	amendments have r	resulted in the cancellation of:				
		the description,	pages:				
		the claims,	Nos.:				
		the drawings,	sheets:				

5.

This report has been established as if (some of) the amendments had not been made, since they have been

considered to go beyond the disclosure as filed (Rule 70.2(c)):

EXAMINATION REPORT



International application No. PCT/EP00/03848

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this

		report.)					
6.	Add	itional observations, if ne	ecessary	/ :			
m.	Nor	n-establishment of opin	ion with	n regard	to novelty, inventive step and industrial applicability		
1.		e questions whether the claimed invention appears to be novel, to involve an inventive step (to be non- vious), or to be industrially applicable have not been examined in respect of:					
		the entire international a	application	on.			
	Ø	claims Nos. 15-17.			·		
be	caus	se:					
	Ø	the said international application, or the said claims Nos. 15-17 relate to the following subject matter which does not require an international preliminary examination (<i>specify</i>): see separate sheet					
		the description, claims of that no meaningful opini			cate particular elements below) or said claims Nos. are so unclear ned (specify):		
		the claims, or sald claim could be formed.	ıs Nos.	are so in	nadequately supported by the description that no meaningful opinion		
		no international search	report h	as been e	established for the said claims Nos		
2. A meaningful international preliminary examination report cannot be carried out due to the failure of the nucle and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:							
		the written form has not	been fu	ırnished d	or does not comply with the standard.		
the computer readable form has not been furnished or does not comply with the standard.							
V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement							
1.	Stat	tement					
	Nov	velty (N)	Yes: No:	Claims Claims	1-29		
	Inve	entive step (IS)	Yes: No:	Claims Claims	1-29		
	Ind	ustrial applicability (IA)	Yes:	Claims	1-14,18-29		





INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/03848

No: Claims

2. Citations and explanations see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted: see separate sheet





AD SECTION III:

For the assessment of the present claims 15-17 on the question whether they are 1. industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment. Claims 15-17 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCTj.

AD SECTION V:

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The following documents cited in the International Search Report were 1. considered:

D1: WALFRED S. SAARI ET AL.: 'alpha-Adrenergic activities of some substituted 2-(aminoethyl)imidazolines' JOURNAL OF MEDICINAL CHEMISTRY., vol. 26, no. 12, - 1983 pages 1769-1772, cited in the application

D2: US 3 754 002 A (RICHARD E. BROWN), cited in the application

D3: US 4 506 074 A (MERCK & CO., INC.)

D4: EP 0 887 346 A (F. HOFFMANN-LA ROCHE AG), cited in the application.

Having regard to this prior ar: the subject-matter claimed appears to be novel, the 2, compounds disclosed in D1 differ from the present compounds on account of the meaning for X, the compounds disclosed in D2 differ on account of the COORgroup, the compounds of D3 are pyridyl derivatives and the compounds disclosed in D4 differ in the meanings for A and Y. Thus the subject-matter according to claims 1-29 appears to meet the

requirements of Article 33(2) PCT.

Closest prior art comprises the compounds disclosed in D1 to D4. D1 describes 3. the profiles of monoaryl derivatives, these being either alpha-1/alpha-2-agonists



International application No. PCT/EP00/03848

or mixed alpha-1-agonists/alpha-2-antagonists, D2 discloses compounds which stimulate the alpha-adrenergic nervous system of mammals and can therefore be used to increase blood pressure, the compounds of D3 possess alpha-2antagonistic/alpha-1-agonistic properties being useful as antidepressants and nasal decongestants and D4 disloses compound having alpha-1A-agonistic activities, which possess similar activities as the present compounds. The problem to be solved was to provide certain compounds which are alpha-1A agonists, which can be used in the treatment of urinary incontinence, nasal congestion, priapism, depression, anxiety, dementia, senility, Alzheimer's. deficiencies in attentiveness and cognition and eating disorders. Having regard to the prior art mentioned above it is considered that this problem has been solved by the compounds of the present application in an inventive manner, since the skilled person could not have expected that the present phenylaminoalkyl-2-imidazoline derivatives would show this activity as demonstrated by the Applicant on pages 62/63 of the description. Thus the subject-matter according to claims 1-29 appears to meet the requirements of Article 33(3) PCT.

No objections with regard to Article 33(4) PCT arise for claims 1-14 and 18-29, 4. however see Section III above.

AD SECTION VII:

1. The units "psi" employed on page 10, 17, 18 and 38 are not additionally expressed in terms of the units stipulated by Rule 10.1(a) PCT.